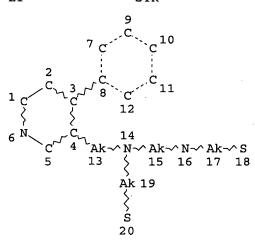
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L4 2 L3

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L4 ANSWER 1 OF 2 CAPLUS COPYRIGHT 2006 ACS on STN

AN 2001:635937 CAPLUS

DN 135:204451

TI Imaging agents for diagnosis of Parkinson's disease

IN Babich, John W.; Smith, Miles P.

PA Biostream, Inc., USA

SO PCT Int. Appl., 79 pp.

CODEN: PIXXD2

DT Patent

LA English

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AB Generally, the present invention is directed to central nervous system dopamine transporter-imaging agents and methods of use thereof. In

Ι

certain embodiments, the present invention relates to radiolabeled piperidine derivs. for use as imaging agents in the diagnosis of Parkinson's disease. Another aspect of the present invention relates to piperidine monoamine transporter ligands, comprising a functional group capable of chelating a radionuclide, e.g., Tc, and methods of use thereof. For example, the ligand I was prepared in a multistep process by demethylation Me (+)-4-(4'-chlorophenyl)-1-piperidine-3-carboxylate, followed by reaction with 1-bromo-3-chloropropane and subsequent reaction with N-[(tritylthio)ethyl]acetamidyl-N-(tritylthio)ethylamine to give the trityl protected I which was deprotected. The 99mTcO complex of I was prepared to be used as an imaging agent for the diagnosis of Parkinson's disease.

IT 357264-95-0P

RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of piperidinylpropylaminomethylcarbonylaminoethylthiol derivs.
as imaging agent for diagnosis of Parkinson's disease)

RN 357264-95-0 CAPLUS

CN Acetamide, 2-[[[(3S,4S)-4-(4-chlorophenyl)-1-methyl-3-piperidinyl]methyl][2-[[(4-methoxyphenyl)methyl]thio]ethyl] = (9CI) (CA INDEX NAME)

Absolute stereochemistry.

- L4 ANSWER 2 OF 2 CAPLUS COPYRIGHT 2006 ACS on STN
- AN 1999:769082 CAPLUS
- DN 132:119356
- TI Synthesis and biological evaluation of two novel DAT-binding technetium complexes containing a piperidine based analogue of cocaine
- AU Hoepping, Alexander; Babich, John; Zubieta, Jon A.; Johnson, Kenneth M.; Machill, Susanne; Kozikowski, Alan P.
- CS Drug Discovery Program, Georgetown University Medical Center, Washington, DC, 20007-2197, USA
- SO Bioorganic & Medicinal Chemistry Letters (1999), 9(22), 3211-3216 CODEN: BMCLE8; ISSN: 0960-894X
- PB Elsevier Science Ltd.
- DT Journal
- LA English
- AB Two new technetium complexes containing a piperidine template have been synthesized and evaluated as possible leads for the development of

dopamine transporter (DAT) imaging agents. Binding data for the corresponding rhenium complexes containing either a monoaminomonoamide (MAMA') or a diaminodithiol (DADT) chelating unit exhibited significant affinity for the DAT. Initial biodistribution studies in rats revealed only a low brain uptake.

IT 256375-14-1P 256375-15-2P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(synthesis and biol. evaluation of dopamine transporter-binding technetium complexes)

RN 256375-14-1 CAPLUS

CN

Acetamide, 2-[[3-[(3S,4S)-4-(4-chlorophenyl)-1-methyl-3-piperidinyl]propyl][2-[[(4-methoxyphenyl)methyl]thio]ethyl]amino]-N-[2-[[(4-methoxyphenyl)methyl]thio]ethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 2-A

Cl

RN 256375-15-2 CAPLUS

CN 1,2-Ethanediamine, N-[3-[(3S,4S)-4-(4-chlorophenyl)-1-methyl-3-piperidinyl]propyl]-N,N'-bis[2-[[(4-methoxyphenyl)methyl]thio]ethyl]-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

RE.CNT 11 THERE ARE 11 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT